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Patent Abstracts of Japan

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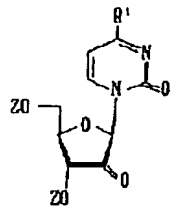
APPLICANT : YOSHITOMI PHARMACEUT IND LTD;

INVENTOR : MATSUDA AKIRA;

INT.CL. : C07H 19/06 A61K 31/70 C07H 19/10

TITLE :

2'-DEOXY-2'@(3754/24)S)-SUBSTITUTED ALKYLCYTIDINE DERIVATIVE



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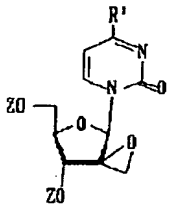

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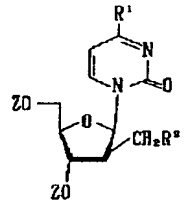

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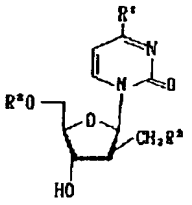

IV

ABSTRACT : PURPOSE: To obtain the subject derivative consisting of a 2'-deoxy-2'(S)- substituted alkylcytidine derivative, having a cell growth-inhibiting activity, expressing an excellent antitumor activity, useful for the therapy of the malignant tumors of mammalians, etc., and used as an antitumor agent, etc.

CONSTITUTION: The objective derivative of formula IV (R^3 is H, phosphate salt group) is obtained as follows: epoxidizing the 2'-position of the saccharide part of a compound of formula I (R^1 is OH, amino; Z is protecting group) with a sulfur ylide (e.g. trimethylsulfoxonium iodide), opening the 2'-epoxy ring of the saccharide part of the produced spiro epoxy derivative of formula II with a nucleophilic reagent (e.g. potassium fluoride), acylating the produced 2'-OH group with an acylating agent (e.g. methyloxazolyl chloride), reducing the acylated compound with a reducing agent (e.g. tri n-butyl tin hydride), aminating the 4-basic part of the reduced compound of formula III (R^2 is OH, acyloxy, halogen), removing the protecting group of the saccharide part, and finally phosphating the 5-position of the saccharide part.

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IT - 159153-53-4P

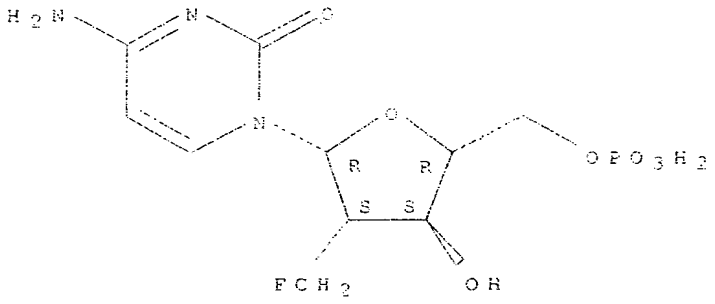
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of anticancer 2'-deoxy-2'-(S)-alkylcytidines by epoxidn. of protected ketouridines)

RN - 159153-53-4 HCAPLUS

CN - 2(1H)-Pyrimidinone, 4-amino-1-[2-deoxy-2-(fluoromethyl)-5-O-phosphono-.beta.-D-arabinofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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